

PATENT COOPERATION TREATY

PCT

INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference TIP 028 PCT	FOR FURTHER ACTION see Notification of Transmittal of International Search Report (Form PCT/ISA/220) as well as, where applicable, item 5 below.	
International application No. PCT/EP 03/ 50055	International filing date (day/month/year) 11/03/2003	(Earliest) Priority Date (day/month/year) 11/03/2002
Applicant TIBOTEC PHARMACEUTICALS LTD		

This International Search Report has been prepared by this International Searching Authority and is transmitted to the applicant according to Article 18. A copy is being transmitted to the International Bureau.

This International Search Report consists of a total of 8 sheets.

☐ It is also accompanied by a copy of each prior art document cited in this report.

1. Basis of the report

- a. With regard to the **language**, the international search was carried out on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.

☐ the international search was carried out on the basis of a translation of the international application furnished to this Authority (Rule 23.1(b)).

- b. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international search was carried out on the basis of the sequence listing :

☐ contained in the international application in written form.

☐ filed together with the international application in computer readable form.

☐ furnished subsequently to this Authority in written form.

☐ furnished subsequently to this Authority in computer readable form.

☐ the statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.

☐ the statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished

2. ☒ **Certain claims were found unsearchable** (See Box I).

3. ☒ **Unity of invention is lacking** (see Box II).

4. With regard to the title,

☒ the text is approved as submitted by the applicant.

☐ the text has been established by this Authority to read as follows:

5. With regard to the abstract,

☒ the text is approved as submitted by the applicant.

☐ the text has been established, according to Rule 38.2(b), by this Authority as it appears in Box III. The applicant may, within one month from the date of mailing of this international search report, submit comments to this Authority.

6. The figure of the **drawings** to be published with the abstract is Figure No.

☐ as suggested by the applicant.

☐ because the applicant failed to suggest a figure.

☐ because this figure better characterizes the invention.

☒ None of the figures.

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International application No.
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Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☒ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
see FURTHER INFORMATION sheet PCT/ISA/210
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

see additional sheet

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☒ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:
1 (part), 2, 3-11 (part)

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

The initial phase of the search revealed a very large number of documents relevant to the issue of novelty of the first invention. So many documents were retrieved (see search report for a small selection) that it is impossible to determine which parts of the claims may be said to define subject-matter for which protection might legitimately be sought (Article 6 PCT). For these reasons, a meaningful search over the whole breadth of the claims is impossible. Consequently, the search has been restricted to compounds of invention 1 in combination with the use in the treatment of HIV infections.

In addition, the present claims do not meet the requirements of Article 6 PCT in that the matter for which protection is sought is not clearly defined. The functional terms "prodrug" and "metabolite" do not enable the skilled person to determine which technical features are necessary to perform the stated function. It is thus unclear which specific compounds fall within the scope of said claim. A lack of clarity within the meaning of Article 6 PCT arises to such an extent as to render a meaningful search of the claims impossible. Consequently, the search does not include prodrugs and metabolites of the compounds of formula I.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. Claims: 1(part),2,3-11(part)

Compounds of formula I where the substituent -C(0)R₃ is ortho to the substituent -N(R₄)-Y-A-(R₂)_n and corresponding compositions and uses

2. Claims: 1(part),3-11(part)

Compounds of formula I where the substituent -C(0)R₃ is meta to the substituent -N(R₄)-Y-A-(R₂)_n and corresponding compositions and uses

3. Claims: 1(part),3-11(part)

Compounds of formula I where the substituent -C(0)R₃ is para to the substituent -N(R₄)-Y-A-(R₂)_n and corresponding compositions and uses

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A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 A61K31/167 C07D213/82 C07D333/38 C07D209/48 C07D209/76
 A61P31/18 C07D295/26 C07D307/68 C07C233/55 C07C233/81
 C07C311/21

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K A61P C07C

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, PAJ, BEILSTEIN Data, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 91 05761 A (UNIROYAL CHEMICAL LTD ;UNIROYAL CHEM CO INC (US)) 2 May 1991 (1991-05-02) page 35, line 7 - line 13 claims 1-53; tables I, ID, IE, IF ---	1,3-11
X	MILTON J ET AL: "Biaryl acids: novel non-nucleoside inhibitors of HIV reverse transcriptase types 1 and 2" BIOORGANIC & MEDICINAL CHEMISTRY LETTERS, OXFORD, GB, vol. 8, no. 19, 6 October 1998 (1998-10-06), pages 2623-2628, XP004139590 ISSN: 0960-894X table 4 --- -/-	1-11

☒ Further documents are listed in the continuation of box C.☒ Patent family members are listed in annex.

* Special categories of cited documents:

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search

8 July 2003

Date of mailing of the international search report

11.6.03

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INTERNATIONAL SEARCH REPORT

International Application No

PCT/EP 03/50055

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	EP 0 628 555 A (BAYER AG) 14 December 1994 (1994-12-14) page 8, line 23 - line 33; claim 1; example 2; table 1 ---	1-11
X	WO 95 05170 A (WARNER LAMBERT CO) 23 February 1995 (1995-02-23) page 16, line 15 - line 16; claim 1 ---	1-11
X	MATYSIAK J ET AL.: "In vitro inhibition properties of a new group of thiobenzanilides in relation to yeasts" EUROPEAN JOURNAL OF PHARMACEUTICAL SCIENCES, ELSEVIER, AMSTERDAM, NL, vol. 10, no. 2, 2000, pages 119-123, XP002245998 ISSN: 0928-0987 tables 1,2 ---	1-9,11
X	VARNAVAS A ET AL: "ANTHRANOYL-ANTHRANILIC ACID: A TEMPLATE FOR THE DEVELOPMENT OF A NEW CLASS OF CHOLECYSTOKININ RECEPTOR LIGANDS" PHARMAZIE, VEB VERLAG VOLK UND GESUNDHEIT. BERLIN, DD, vol. 51, no. 10, 1 October 1996 (1996-10-01), pages 697-700, XP002056854 ISSN: 0031-7144 tables 1,3 ---	1-9,11
X	OZA V B ET AL: "Synthesis and Evaluation of Anthranilic Acid-Based Transthyretin Amyloid Fibril Inhibitors" BIOORGANIC & MEDICINAL CHEMISTRY LETTERS, OXFORD, GB, vol. 9, no. 1, January 1999 (1999-01), pages 1-6, XP004154767 ISSN: 0960-894X scheme 2, figure 1 ---	1-9,11
X	HASEGAWA M ET AL: "NOVEL NAPHTHALENE DERIVATIVES AS INHIBITORS OF HUMAN IMMUNOGLOBULINE ANTIBODY PRODUCTION" JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY, WASHINGTON, US, vol. 40, 1997, pages 395-407, XP001015552 ISSN: 0022-2623 tables 1-4 ---	1-9,11
X	FR 1 557 887 A (RHODIACETA) 21 February 1969 (1969-02-21) claim 1; example 6 --- -/--	1-8

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
P,X	<p>WO 03 004458 A (SLATER MARTIN ; ROUSSEL PATRICK (IT); THOR MARKUS (SE); BIOVITRUM A) 16 January 2003 (2003-01-16) page 1, line 4 - line 7; claim 1 examples (e.g. 41) -----</p>	1-9,11

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Information on patent family members

International Application No

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Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 9105761	A	02-05-1991	US 5268389 A	07-12-1993
			AT 122654 T	15-06-1995
			AU 636409 B2	29-04-1993
			AU 6603590 A	16-05-1991
			BR 9007758 A	11-08-1992
			CA 2067381 A1	17-04-1991
			CN 1051036 A	01-05-1991
			DE 69019533 D1	22-06-1995
			DE 69019533 T2	26-10-1995
			DK 497816 T3	09-10-1995
			EP 0497816 A1	12-08-1992
			GR 3017128 T3	30-11-1995
			HU 60713 A2	28-10-1992
			IL 95956 A	31-03-1996
			JP 6102641 B	14-12-1994
			JP 4507422 T	24-12-1992
			KR 222233 B1	01-10-1999
			RU 2108785 C1	20-04-1998
			WO 9105761 A1	02-05-1991
			US 5693827 A	02-12-1997
			ZA 9008094 A	28-08-1991

EP 0628555	A	14-12-1994	DE 4319039 A1	15-12-1994
			EP 0628555 A1	14-12-1994
			JP 6345757 A	20-12-1994
			US 5571921 A	05-11-1996

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			US 5444085 A	22-08-1995

FR 1557887	A	21-02-1969	NONE	

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			WO 03004458 A1	16-01-2003
			US 2003082631 A1	01-05-2003
			US 2003073862 A1	17-04-2003
